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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 28	CA/CAplus patent coverage enhanced
NEWS 3	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5	JUL 28	STN Viewer performance improved
NEWS 6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 9	AUG 15	CAplus currency for Korean patents enhanced
NEWS 10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 12	SEP 25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14	SEP 29	IFICLS enhanced with new super search field
NEWS 15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS 16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS 18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS 19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS 20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

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FILE 'HOME' ENTERED AT 14:45:11 ON 30 OCT 2008

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
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STRUCTURE FILE UPDATES:   29 OCT 2008  HIGHEST RN 1068186-59-3
DICTIONARY FILE UPDATES:  29 OCT 2008  HIGHEST RN 1068186-59-3

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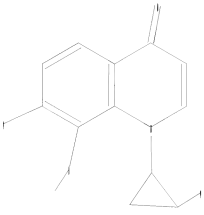

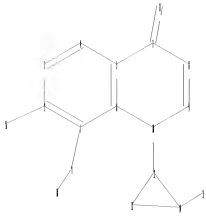

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exact/norm bonds :
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
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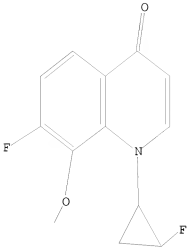

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED     1695 ITERATIONS                                 24 ANSWERS
SEARCH TIME: 00.00.01

L2           24 SEA SSS FUL L1

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FILE COVERS 1907 - 29 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 29 Oct 2008  (20081029/ED)
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CA now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

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  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

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has been deleted. To see the L-numbers currently defined in this
session, enter DISPLAY HISTORY at an arrow prompt (=>).

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L4   17 L3 AND PY<2004

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L4   ANSWER 1 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:261175 CA
TITLE: Preparation of quinolonecarboxylic acid derivative as
                         antibacterial agent
INVENTOR(S):   Shimizu, Sadahiro; Tani, Yuichiro; Akiba, Toshifumi
PATENT ASSIGNEE(S):   Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 31 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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     WO 2003076428   A1   20030918   WO 2002-JP2181   20020308 <--
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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2002236267   A1   20030922   AU 2002-236267   20020308 <--
PRIORITY APPLN. INFO.:   WO 2002-JP2181   A 20020308
AB   (-)-7-[(7S)-Amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-
     1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid
     monohydrochloride 2.5-hydrate (I) is claimed. Also claimed is
     (-)-7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-

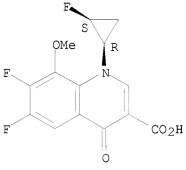
1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid monohydrochloride monohydrate (II). I and II are prepared by crystallization of (-)-7-[(7S)-amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid from a solvent containing HCl and water. I and II are antibacterial agents (no data) and show excellent stability to light and humidity.

IT 175776-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolonecarboxylic acid derivative as antibacterial agent)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 139:101036 CA

TITLE: Preparation of pyridinylpyrrolidinylquinolonecarboxylates as antibacterials.

INVENTOR(S): Park, Tae-Ho; Lee, Sang-Ho; Han, Cheol

PATENT ASSIGNEE(S): S. Korea

SOURCE: U.S. Pat. Appl. Publ., 12 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030130302	A1	20030710	US 2002-331457	20021227 <--
US 7012144	B2	20060314		
KR 2003058394	A	20030707	KR 2001-88822	20011231 <--
KR 2003058401	A	20030707	KR 2001-88829	20011231 <--

PRIORITY APPLN. INFO.: KR 2001-88822 A 20011231
KR 2001-88829 A 20011231

OTHER SOURCE(S): MARPAT 139:101036

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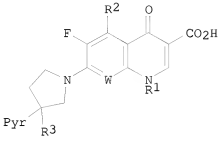


I

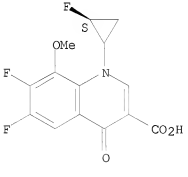
AB Title compds. [I; R1 = alkyl, Ph, C3-6 cycloalkyl optionally substituted with ≥1 halo; R2 = H, amino, C1-4 alkyl; R3 = H, C1-4 alkyl, amino, aminomethyl, aminoethyl optionally substituted with 1 C1-4 alkyl; W = N, CH, CY; Y = halo, C1-4 alkyl, C1-4 alkoxy optionally substituted with ≥1 halo; Pyr = 2-, 3- or 4-pyridyl; provided that when W = C, W and R 1 are fused together to form COCH2CHMe, CCH2CH2CHMe, CSCH2CHMe], were prepared Thus, 1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydroquinolin-3-carboxylic acid, 3-aminomethyl-3-(pyridin-2-yl)pyrrolidine trihydrochloride, and diazabicyclo[5.4.0]undec-7-ene were refluxed in MeCN to give 1-cyclopropyl-6-fluoro-8-methoxy-7-[[3-aminomethyl-3-(pyridin-2-yl)pyrrolidin]-1-yl]-4-oxo-1,4-dihydroquinolin-3-carboxylic acid. The latter showed a min. inhibitory concentration of 0.013 μg/mL against Streptococcus pyogenes A 308.

IT 557076-72-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolidinylquinolonecarboxylates as antibacterials)

RN 557076-72-9 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 138:287534 CA
TITLE: Process for preparing quinolonecarboxylic acid derivatives
INVENTOR(S): Ota, Naoki; Shirono, Toshiaki; Akiba, Toshifumi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003096075	A	20030403	JP 2001-294163	20010926 <--
PRIORITY APPLN. INFO.:			JP 2001-294163	20010926

OTHER SOURCE(S): MARPAT 138:287534
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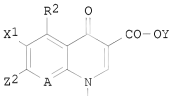


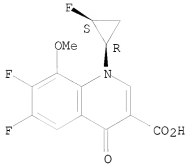
I

AB The title compds. I [X1 = H, halo; R1 = (un)substituted cycloalkyl, etc.; R2 = H, amino, etc.; A = N, etc.; Z2 = Q1, etc; R = H ; R3, R4 = H, halo, etc.] are prepared by hydrogenation of I [X1, R1 - R4, A, Z2 = as defined above; R = (un)substituted aralkyl, etc.] in an aqueous solution in the presence of an acid or base (for increasing solubility). I are useful as antibacterial agents (no data). 7-[(7S)-7-Amino-5-azaspiro[2.4]hept-5-yl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-8-methoxy-4-oxo-1,4-dihydro-3-quinolinecarboxylic acid was prepared in 92% yield by the title process.

IT 175776-13-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparing aminoazaspiroheptylquinolonecarboxylic acid derivs. by hydrogenation of phenylethyl- or benzyloxycarbonylaminoazaspiroheptylquinolonecarboxylic acid derivs. in presence of acid or base)

RN 175776-13-3 CA
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 4 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:216936 CA
TITLE: Quinolonecarboxylic acid derivatives for safe bactericides having light and moisture stability
INVENTOR(S): Shimizu, Sadahiro; Tani, Yuichiro; Akiba, Toshifumi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002255962	A	20020911	JP 2001-50382	20010226 <--
PRIORITY APPLN. INFO.:			JP 2001-50382	20010226

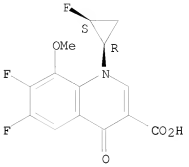
AB (-)-7- {(7S)-7-amino-5-azaspiro[2.4]heptane-5-yl}-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid (I)·1HCl·2.5H2O and I·1HCl·H2O are prepared Thus, I was prepared, suspended (3.872 g, 0.5H2O) in 23 mL iso-PrOH, dissolved in 13.4 mL water and 2.1 mL 5N HCl, mixed with 0.39 g activated carbon for 20 min, filtered, and washed with iso-PrOH, and the filtrate was crystallized to give 2.449 g I·1HCl·2.5H2O.

IT 175776-13-3P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(quinolonecarboxylic acid derivs. for safe and stable bactericides)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 5 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:93736 CA
TITLE: Preparation of quinolonecarboxylic acid derivative as bactericide and its intermediates
INVENTOR(S): Shimizu, Sadahiro; Makino, Toru; Kino, Toshiaki; Nagasawa, Hiroshi; Ota, Naoki; Akiba, Toshifumi
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002201191	A	20020716	JP 2001-296115	20010927 <--
PRIORITY APPLN. INFO.:			JP 2000-297171 A	20000928
OTHER SOURCE(S):	CASREACT 137:93736; MARPAT 137:93736			

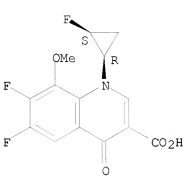
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title derivative I (R1 = R2 = H) is prepared by treatment of 6,7-difluoroquinolonecarboxylic acids II (R1 = H, BX2; X = F, C1-6 alkoxy, C2-7 alkylcarbonyloxy) with 7-(S)-amino-5-azaspiroheptanes III (R2 = H, alkoxycarbonyl, aralkyloxycarbonyl, acyl, aralkyl, etc.; when R1 = BF2, then R2 ≠ H, tert-butoxycarbonyl), followed by optional deboronation and/or removal of R2. Thus, 7-(S)-amino-5-azaspiro[2.4]heptane 2HCl salt was treated with Et3N at 30° for 18 h in N,N-dimethylacetamide, then treated with II (R1 = H) at 60° for 24 h to give 60% I (R1 = R2 = H).
IT 175776-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinolonecarboxylic acid derivative as bactericide)
RN 175776-13-3 CA
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-

1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 6 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 136:401768 CA
TITLE: Preparation of dehalogenoquinolinecarboxylic acid derivatives, naphthyridine derivatives, and benzoxazine derivatives as antibacterial agents
INVENTOR(S): Takahashi, Hisashi; Miyauchi, Rie; Itoh, Masao; Takemura, Makoto; Hayakawa, Isao
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1336611	B1	20070905		
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JP 3711108	B2	20051026	JP 2002-543488	20011119
CN 1269817	C	20060816	CN 2001-822074	20011119
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NO	2003002255	A	20030721	NO 2003-2255	20030519 <--
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JP	2005194274	A	20050721	JP 2004-379455	20041228
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PRIORITY APPLN. INFO.:

JP 2000-352269	A	20001120
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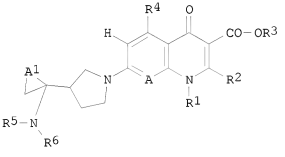
WO 2001-JP10086	W	20011119
US 2003-432043	A1	20030519

OTHER SOURCE(S): MARPAT 136:401768

GI



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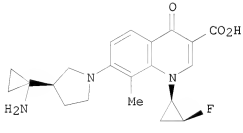


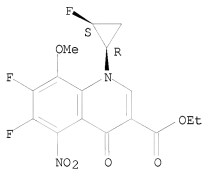
II

AB The title compds. I [R1 = alkyl, etc.; R2 = alkylthio, H; further detail on R1 and R2 is given; R3 = H, Ph, etc.; R4 = alkyl, etc.; A = N, etc.; R5, R6 = alkyl, etc.; A1 = (CH2)n; n = 1 or 2] are prepared I exhibit broad and potent activity against gram-neg. and gram-pos. bacteria and against resistant bacteria. The title compound II in vitro showed MIC of 0.025 μg/mL against P. aeruginosa 32121. Formulations are given.

IT 181942-36-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dehalogenoquinolinecarboxylic acid derivs., naphthyridine derivs., and benzoxazine derivs. as antibacterial agents)

RN 181942-36-9 CA
CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-5-nitro-4-oxo-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:288695 CA
TITLE: Preparation of antibacterial fluoroquinolonecarboxylic acid derivative
INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Itoh, Masao; Suzuki, Tetsuya; Ohtani, Tsuyoshi; Sekiguchi, Masayasu; Miyauchi, Rie; Hayakawa, Isao
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072738	A1	20011004	WO 2001-JP2761	20010330 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2408806	A1	20011004	CA 2001-2408806	20010330 <--
AU 200104467 1	A	20011008	AU 2001-44671	20010330 <--
JP 2003073275	A	20030312	JP 2002-9952	20010330 <--
EP 1298131	A1	20030402	EP 2001-917706	20010330 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

BR 2001011248	A	20030708	BR 2001-11248	20010330	<--
NZ 522667	A	20040625	NZ 2001-522667	20010330	
AU 2001244671	B2	20050127	AU 2001-244671	20010330	
ZA 2002009243	A	20031125	ZA 2002-9243	20021113	<--
NO 2002005542	A	20021126	NO 2002-5542	20021119	<--
MX 2002PA11671	A	20030327	MX 2002-PA11671	20021126	<--
US 20030187008	A1	20031002	US 2003-275972	20030331	<--
US 6900225	B2	20050531			
US 20040142957	A1	20040722	US 2004-753367	20040109	
PRIORITY APPLN. INFO.:			JP 2000-97690	A 20000331	
			JP 2000-271231	A 20000907	
			JP 2001-570649	A3 20010330	
			WO 2001-JP2761	W 20010330	
			US 2003-275972	A3 20030331	

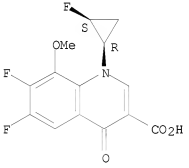
AB Claimed is (-)-7-[(7S)-7-amino-5-azaspiro[2.4]heptan-5-yl]-6-fluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid monohydrochloride monohydrate (I). I was prepared and showed MIC values of ≤ 0.003 μg/mL and 0.05 μg/mL against E. coli NIHJ and P. aeruginosa 32121, resp. I is highly stable to light and humidity.

IT 175776-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antibacterial fluoroquinolonecarboxylic acid derivative)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 133:237871 CA

TITLE: Preparation of cis-substituted aminocycloalkylpyrrolidine derivatives of 1,4-dihydro-4-oxoquinoline-3-carboxylic acids as antimicrobial drugs

INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi; Sugita, Kazuyuki; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

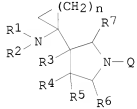
SOURCE: U.S., 67 pp., Cont.-in-part of Appl. No. PCT/JP96/03440.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6121285	A	20000919	US 1998-82155	19980521 <--
WO 9719072	A1	19970529	WO 1996-JP3440	19961122 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804273	A	19981125	ZA 1998-4273	19980520 <--
US 6184388	B1	20010206	US 1999-397515	19990917 <--

PRIORITY APPLN. INFO.:

JP 1995-304129	A	19951122
JP 1996-192637	A	19960723
WO 1996-JP3440	A2	19961122
JP 1997-131413	A	19970521
JP 1997-140643	A	19970529
US 1998-82155	A1	19980521

OTHER SOURCE(S): MARPAT 133:237871
GI



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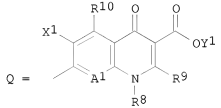
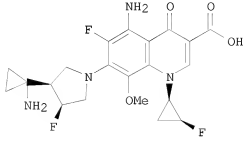




II

AB The title compds. (I) [wherein R1, R6, and R7 = independently H or alkyl; R2 = H or (un)substituted alkyl; R3 = H, OH, halo, carbamoyl, alkyl, alkoxy, or alkylthio; one of R4 and R5 = H and the other is CH2OH, Me,

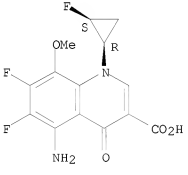
OMe, or F; or R4 and R5 together = hydroxyimino, a polymethylene chain of 3-6 C's which form a spirocyclic structure together with the pyrrolidine ring or an alkoxyimino group; n = 1-3; R8 = (halo)alkyl, alkenyl, alkoxy, alkylamino, (un)substituted cycloalkyl or (hetero)aryl, etc.; R9 = H or alkylthio; X1 = H or halo; R10 = H, NH2, OH, SH, halomethyl, alkyl, alkenyl, or alkoxy; A1 = N or (un)substituted C; Y1 = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, etc.] were prepared I have excellent antimicrobial activity and are highly safe. Thus, 1-benzyloxycarbonyl-4-(R)-(1-tert-butoxycarbonylaminocyclopropyl)-3-(S)-fluoropyrrolidine was dissolved in EtOH and hydrogenated using Pd/C. A solution of the residue and DMSO was mixed with TEA and 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid to give II (43%). II was tested on 13 microbial strains and showed potent inhibition with MIC values ranging from ≤ 0.003 μg/mL to 0.39 μg/mL. In an acute toxicity test on male mice, none of the five mice died upon administration of 150 mg/kg doses of II.

IT 181942-32-5, 5-Amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 6-(aminocycloalkylpyrrolidinyl)-1,4-dihydro-4-oxoquinolines as antimicrobial agents by addition of 6-fluoro-1,4-dihydro-4-oxoquinolines to aminocycloalkylpyrrolidines)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 133:222606 CA

TITLE: Preparation of 3-(aminomethyl)pyrrolidine derivatives having aromatic substituents as antibacterial agents

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Takeda, Toshiyuki; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

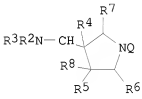
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053594	A1	20000914	WO 2000-JP1439	20000309 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1182202	A1	20020227	EP 2000-907973	20000309 <--
EP 1182202	B1	20040602		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 268329	T	20040615	AT 2000-907973	20000309
RU 2255938	C2	20050710	RU 2001-124813	20000309
CN 1258531	C	20060607	CN 2000-807357	20000309
NO 2001004374	A	20011112	NO 2001-4374	20010907 <--
NO 322011	B1	20060807		
US 6762181	B1	20040713	US 2001-936050	20010907
US 20040209940	A1	20041021	US 2004-834079	20040429
US 7186843	B2	20070306		

PRIORITY APPLN. INFO.: JP 1999-62806 A 19990310
WO 2000-JP1439 W 20000309
US 2001-936050 A3 20010907

OTHER SOURCE(S): MARPAT 133:222606
GI



I

AB Prepared are quinolone derivs. having potent antibacterial effects on various bacteria including insensible bacilli, which are compds. represented by general formula (I), salts of the same, or hydrates of both [wherein R1 is an optionally substituted C6-10 aryl or heteroaryl; R2 and R3 are each hydrogen or optionally substituted alkyl; R4, R5 and R6 are each hydrogen, hydroxyl, halogeno, carbamoyl, or C1-6 alkyl, alkoxy, or alkylthio; R7 and R8 are each hydrogen or C1-6 alkyl; R9 is C1-6 alkyl, C2-6 alkenyl, C1-6 halogenoalkyl, optionally substituted C3-6 cycloalkyl, aryl, or heteroaryl, or C1-6 alkoxy or alkylamino; R10 is hydrogen or C1-6 alkylthio; R11 is hydrogen, amino, hydroxyl, thiol, halomethyl, C1-6 alkyl, or the like; X1 is halogeno or hydrogen; A1 is nitrogen or C-X2; X2 is hydrogen, amino, halogeno, or the like; A2 and A3 are each >C:C(:A1)-N(R9)- or >N-C(:A1):C(R9)-; R10 and R9 or R9 and X2 may be united to form a ring structure; and Y is hydrogen or an ester-forming

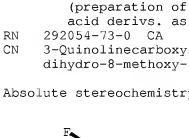
group]. Thus, (R)-3-[1-(tert-butoxycarbonylamino)-1-phenylmethyl]pyrrolidine was added to a suspension of 5-amino-6,7,8-trifluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid in MeCN and refluxed in the presence of Et3N for 14 h, followed by treatment of the product with concentrated aqueous HCl to give 5-amino-7-[(R)-3-(1-amino-1-phenylmethyl)-1-pyrrolidinyl]-6,8-difluoro-1-[(1S,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (II). II showed min. inhibitory concentration of ≤0.003 μg/mL against Escherichia coli NIHJ, Staphylococcus aureus FDA 209P, and Staphylococcus epidermidis 56500.

IT 292054-73-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [(aminomethyl)pyrrolidinyl]dihydrooxoquinolinecarboxylic acid derivs. as antibacterial agents)

RN 292054-73-0 CA

CN 3-Quinolinecarboxylic acid, 7-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 130:76164 CA

TITLE: Inhibitors for TNF-α induction

INVENTOR(S): Baba, Masanori; Ikeuchi, Kiyoshi; Kimura, Yoichi

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

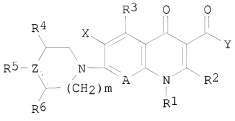
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316570	A	19981202	JP 1997-122422	19970513 <--
JP 3776203	B2	20060517		
JP 2006131641	A	20060525	JP 2005-377597	20051228
PRIORITY APPLN. INFO.:			JP 1997-122422 A3	19970513
OTHER SOURCE(S):	MARPAT 130:76164			

GI



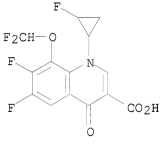
I

AB The compds. (I; R1 = C1-6 alkyl; R2, R3 = H, etc.; R4, R6 = H, etc.; R5 = halogen, etc.; X = H, etc.; A = N, etc.; m = 2 or 3; Y = OH, etc.; Z = C, etc.) are claimed as inhibitors for TNF-α induction and treatment of related diseases e.g. chronic rheumatoid arthritis, septic shock, ulcerative colitis, AIDS, etc. I inhibited ICAM-1 and p24 protein information and had anti-HIV activity in vitro.

IT 218607-96-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(inhibitors for TNF-α induction)

RN 218607-96-6 CA

CN 3-Quinolinecarboxylic acid, 8-(difluoromethoxy)-6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-4-oxo- (CA INDEX NAME)



L4 ANSWER 11 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 130:13992 CA

TITLE: Preparation and formulation of cis-disubstituted aminocycloalkylpyrrolidine moiety-containing quinoline and benzoxazine derivatives as bactericides

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Sugita, Kazuyuki; Ohki, Hitoshi; Miyauchi, Satoru; Miyauchi, Rie

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

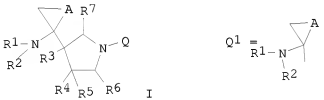
FAMILY ACC. NUM. COUNT: 3

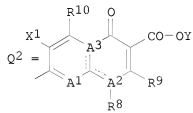
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9852939	A1	19981126	WO 1998-JP2219	19980520 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804273	A	19981125	ZA 1998-4273	19980520 <--
CA 2289605	A1	19981126	CA 1998-2289605	19980520 <--
AU 9874493	A	19981211	AU 1998-74493	19980520 <--
EP 1020459	A1	20000719	EP 1998-921738	19980520 <--
EP 1020459	B1	20050406		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9810235	A	20010918	BR 1998-10235	19980520 <--
IN 1998MA01076	A	20050304	IN 1998-MA1076	19980520
AT 292632	T	20050415	AT 1998-921738	19980520
NO 9905653	A	20000121	NO 1999-5653	19991118 <--
MX 9910715	A	20000831	MX 1999-10715	19991119 <--
US 20020077345	A1	20020620	US 2001-985256	20011102 <--
PRIORITY APPLN. INFO.:			JP 1997-131413 A	19970521
			JP 1997-140643 A	19970529
			WO 1998-JP2219 W	19980520
			US 1999-424112 A1	19991119

OTHER SOURCE(S): MARPAT 130:13992
GI





AB The title compds. I [R1 represents hydrogen or alkyl; R2 represents hydrogen or alkyl; R3 and R5 represent each hydrogen; R4 represents hydroxy, halogeno, carbamoyl, alkyl, alkoxy or alkylthio; R6 and R7 represent each hydrogen or alkyl; A = (CH2)n; n is an integer of from 1 to 3; R4 and the substituent on the pyrrolidine ring of general formula Q1 are arranged at the cis-configuration; and Q is a partial structure

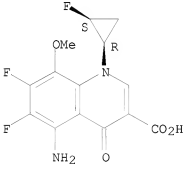
represented by Q2; R8 = alkyl, etc.; R9 = H, etc.; further details on R9 and R8 are given; R10 = amino, etc.; X1 = halo, H; A1 = N, etc.; A2, A3 = N, C; further details on A2 and A3 are given; Y = H, etc.] are prepared Three compds. of this invention in vitro showed MIC values of 0.10 to 0.39 μg/mL against P. aeruginosa 32104.

IT 181942-32-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cis-disubstituted aminocycloalkylpyrrolidine moiety-containing
quinoline and benzoxazine derivs. as bactericides)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

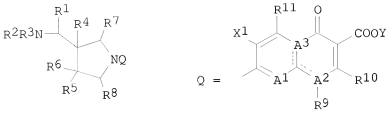
L4 ANSWER 12 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	129:343410 CA
ORIGINAL REFERENCE NO.:	129:69945a,69948a
TITLE:	Preparation of (aminomethyl)pyrrolidines and bactericides containing them
INVENTOR(S):	Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Oki, Hitoshi
PATENT ASSIGNEE(S):	Daiichi Seiyaku Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 29 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10287669	A	19981027	JP 1997-91257	19970410 <--
PRIORITY APPLN. INFO.:			JP 1997-91257	19970410
OTHER SOURCE(S):	MARPAT 129:343410			

GI



I

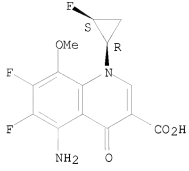
AB The compds. I [R1 = C1-6 alkyl substituted with OH, halo, C1-6 alkylthio, C1-6 alkoxy; R2, R3 = H, OH, C1-6 alkyl which may have ≥1 of OH, halo, C1-6 alkylthio, C1-6 alkoxy; R4-R6 = H, OH, halo, carbamoyl, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, wherein alkyl may have ≥1 of OH, halo, C1-6 alkoxy; R9 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl; C1-6 alkoxy, C1-6 alkylamino; R10 = H, C1-6 alkylthio; R9 and R10 may be bonded to each other forming a (S-containing) ring which may have C1-6 alkyl; R11 = H, OH, SH, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, amino which may have ≥1 of CHO, C1-6 alkyl, C2-5 acyl; X1 = halo, H; A1 = N, CX2 (X2 = H, halo, cyano, halomethyl, halomethoxy, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, amino which may have ≥1 of CHO, C1-6 alkyl, C2-5 acyl); X2 and R9 may be bonded to each other forming a (un)substituted (hetero)cycle; A2, A3 = C, N; if A2 = C, then A3= N; if A2 = N, then A3 = C; Y = H, Ph, CH2OAc, pivaloyloxymethyl, CO2Et, choline, dimethylaminoethyl, 5-indanyl, phthalidyl, 5-alkyl-2-oxo-1,3-dioxol-4-ylmethyl, 3-acetoxy-2-oxobutyl, C1-6 alkyl, C2-7 alkoxymethyl, phenyl-C1-6 alkyl], their salts, and their hydrates are prepared The bactericides, especially effective against quinolone-resistant bacteria, contain I, their salts, or their hydrates as active ingredients. 5-Amino-7-[(3R)-(1-amino-2-fluoroethyl)-1-pyrrolidinyl]-6-fluoro-1-[(2S)-fluoro-(1R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid (preparation given) showed antibacterial activity against Escherichia coli, Proteus mirabilis, Staphylococcus aureus, Pseudomonas aeruginosa, Enterococcus faecalis, etc.

IT 181942-32-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (aminomethyl)-N-heterocyclylpyrrolidines as broad-spectrum bactericides)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



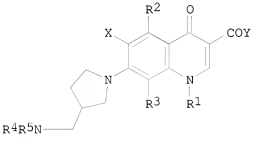
L4 ANSWER 13 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 127:318876 CA
ORIGINAL REFERENCE NO.: 127:62493a,62496a
TITLE: Preparation of cycloalkylaminomethylpyrrolidine derivatives as antibacterials
INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Kawakami, Katsuhiro; Ohki, Hitoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740037	A1	19971030	WO 1997-JP1446	19970424 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 425394	B	20010311	TW 1997-86105396	19970423 <--
CA 2251927	A1	19971030	CA 1997-2251927	19970424 <--
CA 2251927	C	20050215		
AU 9724072	A	19971112	AU 1997-24072	19970424 <--
AU 726378	B2	20001102		
EP 900793	A1	19990310	EP 1997-919690	19970424 <--
EP 900793	B1	20020724		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1222151	A	19990707	CN 1997-195563	19970424 <--
CN 1116295	C	20030730		
BR 9708824	A	19990803	BR 1997-8824	19970424 <--
AT 221063	T	20020815	AT 1997-919690	19970424 <--
PT 900793	T	20021129	PT 1997-919690	19970424 <--
ES 2180975	T3	20030216	ES 1997-919690	19970424 <--
JP 4127322	B2	20080730	JP 1997-537935	19970424
KR 2000010578	A	20000215	KR 1998-708448	19981022 <--

US 6194434	B1	20010227	US 1998-171637		19981022 <--
HK 1018786	A1	20030124	HK 1999-103894		19990908 <--
PRIORITY APPLN. INFO.:			JP 1996-102334	A	19960424
			WO 1997-JP1446	W	19970424

OTHER SOURCE(S): MARPAT 127:318876

GI



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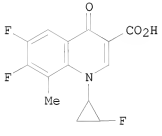


II

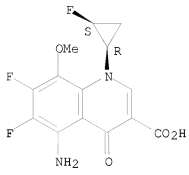
AB The title compds. [I; R1 = (un)substituted cycloalkyl; R2 = H, amino, OH, HS, halomethyl, alkyl, alkenyl, alkynyl or alkoxy; R3 = amino, halomethyl, halomethoxy, alkyl, alkenyl, alkynyl or alkoxy; R4 = H, C1-6 alkyl; R5 = C3-6 cycloalky; X = H, halo; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, choline, dimethylaminoethyl, 5-indanyl, etc.] are prepared I are useful as antibacterials. Thus, compound (II).BF2 chelate was reacted with (3R)-3-(N-tert-butoxycarbonyl-N-cyclopropylaminomethyl)pyrrolidine in the presence of Et3N and then treated with aqueous citric acid to give 22% I (R1 = 2-fluorocyclopropyl, R2 = R4 = Y = H, R3 = Me, R5 = cyclopropyl X = F), which showed MIC of ≤ 0.003 μg/mL against coli NIHJ.

IT 181942-32-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of cycloalkylaminomethylpyrrolidine derivs. as antibacterials)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

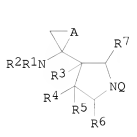


L4 ANSWER 14 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 127:50550 CA
ORIGINAL REFERENCE NO.: 127:9645a,9648a
TITLE: Preparation and formulation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides
INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719072	A1	19970529	WO 1996-JP3440	19961122 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238765	A1	19970529	CA 1996-2238765	19961122 <--
AU 9675898	A	19970611	AU 1996-75898	19961122 <--
AU 707889	B2	19990722		
CN 1207738	A	19990210	CN 1996-199713	19961122 <--
CN 1119343	C	20030827		
EP 911328	A1	19990428	EP 1996-938533	19961122 <--
EP 911328	B1	20060208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 322202	A	20000526	NZ 1996-322202	19961122 <--
TW 402601	B	20000821	TW 1996-85114493	19961122 <--
AT 317393	T	20060215	AT 1996-938533	19961122
PT 911328	T	20060531	PT 1996-938533	19961122
ES 2258780	T3	20060901	ES 1996-938533	19961122
JP 4040091	B2	20080130	JP 1997-519602	19961122
NO 9802297	A	19980722	NO 1998-2297	19980520 <--

US 6121285	A	20000919	US 1998-82155		19980521 <--
US 6184388	B1	20010206	US 1999-397515		19990917 <--
PRIORITY APPLN. INFO.:			JP 1995-304129	A	19951122
			JP 1996-192637	A	19960723
			WO 1996-JP3440	W	19961122
			JP 1997-131413	A	19970521
			JP 1997-140643	A	19970529
			US 1998-82155	A1	19980521

OTHER SOURCE(S): MARPAT 127:50550
GI



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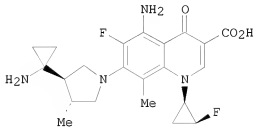


II

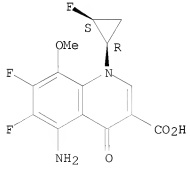
AB The title compds. I [R1 = H, alkyl; R2 = H, (un)substituted alkyl; R3 = H, halo, etc.; R4, R5 = H, OH, etc.; further details on R4, R5 are given; R6, R7 = H, alkyl; A = (CH2)n; n = 1 - 3; Q = quinoline moiety or analog (generic structures given)] are prepared The title compound II (preparation given)
in vitro showed MIC of 0.1 μg/mL against Pseudomonas aeruginosa 32121.

IT 181942-32-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides)

RN 181942-32-5 CA

CN 3-Quinolinecarboxylic acid, 5-amino-6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 15 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:247632 CA

ORIGINAL REFERENCE NO.: 125:46285a,46288a
TITLE: Preparation and formulation of heterocyclic compounds as medical bactericides
INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Kawakami, Katsuhiro; Kimura, Kenichi; Ohki, Hitoshi; Matsuhashi, Norikazu; Kawato, Haruko
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9623782	A1	19960808	WO 1996-JP208	19960201	<--
W: CA, CN, FI, KR, NO, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
CA 2212007	A1	19960808	CA 1996-2212007	19960201	<--
CA 2212007	C	20040914			
JP 08277284	A	19961022	JP 1996-16260	19960201	<--
JP 3745433	B2	20060215			
EP 807630	A1	19971119	EP 1996-901518	19960201	<--
EP 807630	B1	20030507			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
TW 487701	B	20020521	TW 1996-85101378	19960201	<--
EP 1304329	A2	20030423	EP 2003-883	19960201	<--
EP 1304329	A3	20040915			
EP 1304329	B1	20081015			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
AT 239720	T	20030515	AT 1996-901518	19960201	<--
PT 807630	T	20030829	PT 1996-901518	19960201	<--
ES 2198474	T3	20040201	ES 1996-901518	19960201	
NO 9703530	A	19971002	NO 1997-3530	19970731	<--
NO 314546	B1	20030407			
FI 9703207	A	19971001	FI 1997-3207	19970801	<--
US 5849757	A	19981215	US 1997-875678	19970804	<--

PRIORITY APPLN. INFO.:
JP 1995-15614 A 19950202
JP 1995-19478 A 19950207
JP 1995-19481 A 19950207
EP 1996-901518 A3 19960201
WO 1996-JP208 W 19960201

OTHER SOURCE(S): MARPAT 125:247632
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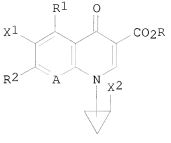

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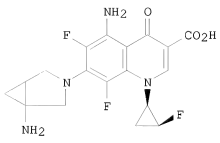


II

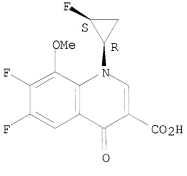
AB The title compds. I [X1 represents halo or hydrogen; X2 represents halo; R1 represents hydrogen, hydroxy, thiol, halomethyl, amino, alkyl or alkoxy; R2 represents a pyrrolidine moiety (generic structure given); A represents nitrogen, etc.; and R represents hydrogen, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, choline, dimethylaminoethyl, 5-indanyl, etc.] are prepared The title compound II (preparation given) in vitro showed MIC values of ≤ 0.003 μg/mL and 0.05 μg/mL against E. coli NIHJ and P. aeruginosa 32104, resp.

IT 175776-13-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclic compds. as medical bactericides)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



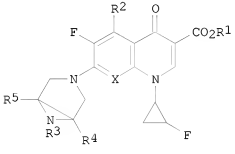
L4 ANSWER 16 OF 17 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:	124:317208 CA
ORIGINAL REFERENCE NO.:	124:58833a,58836a
TITLE:	Preparation of diazabicyclo[3.1.0]hexane-substituted quinolinone-derivative broad-spectrum antibiotics
INVENTOR(S):	Moon, Soon Ku; Lee, Gwan Sun; Ryoo, Eui Sang; Moon, Yound Ho; Kim, Nam Du
PATENT ASSIGNEE(S):	Hanmi Pharmaceutical Co., Ltd., S. Korea
SOURCE:	PCT Int. Appl., 82 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9601262	A1	19960118	WO 1995-KR84	19950630 <--

W: CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
PRIORITY APPLN. INFO.: KR 1994-15840 A 19940702
OTHER SOURCE(S): MARPAT 124:317208
GI



I

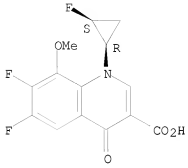
AB The title compds. [I; R1 = hydrogen, ester-forming group; R2 = hydrogen, (un)substituted amino, hydroxy, lower alkoxy, mercapto, lower alkylthio, halogen; R3-R5 = H, alkyl; X = N, CR6; R6 = hydrogen, halogen, hydroxy, Me, CN, NO2, MeO], which demonstrate antibacterial activity against both gram-pos. or neg. antibacteria and activity against methicillin- and ofloxacin-resistant strains, are prepared and I-containing formulations presented. Thus, 6,7,8-trifluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-4-oxo-1,4-dihydroquinoline-3-carboxylic acid was reacted with 6-methyl-3,6-diazabicyclo[3.1.0]hexane, forming 6,8-difluoro-1-[(1R,2S)-2-fluoro-1-cyclopropyl]-7-(6-methyl-3,6-diazabicyclo[3.1.0]hexan-3-yl)-4-oxo-1,4-dihydroquinoline-3-carboxylic acid, m.p. 200-203° (decomposition), which demonstrated a MIC against E. coli DC 2 of 0.049 μg/mL, vs. 0.098 for ciprofloxacin.

IT 175776-13-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of diazabicyclo[3.1.0]hexane-substituted quinolinone-derivative broad-spectrum antibiotics)

RN 175776-13-3 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

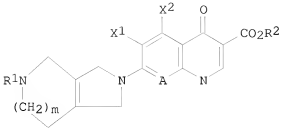
Absolute stereochemistry. Rotation (-).



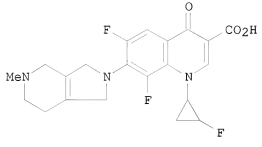
L4 ANSWER 17 OF 17 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 123:169594 CA
ORIGINAL REFERENCE NO.: 123:30279a,30282a
TITLE: Preparation of 1-(2-fluorocyclopropyl)quinolone- and naphthyridonecarboxylic acid antibiotics
INVENTOR(S): Petersen, Uwe; Schenke, Thomas; Boehm, Stefan; Grosser, Rolf; Bremm, Klaus Dieter; Endermann, Rainer; Metzger, Karl-Georg
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 653425	A1	19950517	EP 1994-117335	19941103 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4339134	A1	19950518	DE 1993-4339134	19931116 <--
AU 9477730	A	19950525	AU 1994-77730	19941109 <--
AU 681703	B2	19970904		
US 5545642	A	19960813	US 1994-336638	19941109 <--
CA 2135548	A1	19950517	CA 1994-2135548	19941110 <--
JP 07188230	A	19950725	JP 1994-301672	19941111 <--
FI 9405360	A	19950517	FI 1994-5360	19941114 <--
NO 9404365	A	19950518	NO 1994-4365	19941115 <--
ZA 9409052	A	19950719	ZA 1994-9052	19941115 <--
LV 11328	B	19961220	LV 1994-217	19941115 <--
CN 1106412	A	19950809	CN 1994-118475	19941116 <--
HU 70175	A2	19950928	HU 1994-3288	19941116 <--
AU 9725599	A	19970904	AU 1997-25599	19970618 <--
PRIORITY APPLN. INFO.:			DE 1993-4339134 A	19931116

OTHER SOURCE(S): MARPAT 123:169594
GI



I



II

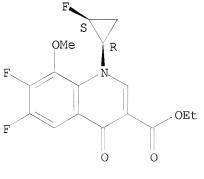
AB The title compds. [I; A = N, CH, CF, CCl, CBr, CCF3, COMe, COCHF2, CMe, CC.tplbond.CH; R1 = H, (un)substituted alkyl, alkoxycarbonyl, halogen-(un)substituted acetyl or (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl; R2 = H, (un)substituted alkyl, (un)substituted acetoxymethyl or pivaloyloxymethyl; X1 = halogen or nitro; X2 = H, halogen, amino, Me; n = 0, 1] [e.g., II; m.p. 224-226° (decomposition); MIC against S. aureus (ICB 25701) 0.5 μg/mL], useful as antibiotics for the treatment of infections, are prepared

IT 166973-69-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(2-fluorocyclopropyl)quinolone- and naphthyridonecarboxylic acid antibiotics)

RN 166973-69-9 CA

CN 3-Quinolinecarboxylic acid, 6,7-difluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-8-methoxy-4-oxo-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	90.65	269.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-12.75	-12.75

STN INTERNATIONAL LOGOFF AT 14:47:20 ON 30 OCT 2008